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                 Zentralblatt
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        OCT 19
                 BEILSTEIN updated with new compounds
                 Derwent Indian patent publication number format enhanced
NEWS
        NOV 19
                 WPIX enhanced with XML display format
NEWS
        NOV 30
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        DEC 04
                LINPADOCDB now available on STN
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NEWS 8
        DEC 14
                 BEILSTEIN pricing structure to change
        DEC 17
NEWS 9
                 USPATOLD added to additional database clusters
        DEC 17
NEWS 10
                 IMSDRUGCONF removed from database clusters and STN
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        DEC 17
                 DGENE now includes more than 10 million sequences
NEWS 12
        DEC 17
                 TOXCENTER enhanced with 2008 MeSH vocabulary in
                 MEDLINE segment
                 MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS 13
        DEC 17
                 CA/CAplus enhanced with new custom IPC display formats
NEWS 14
        DEC 17
NEWS 15
        DEC 17
                 STN Viewer enhanced with full-text patent content
                 from USPATOLD
NEWS 16
         JAN 02
                 STN pricing information for 2008 now available
NEWS 17
                 CAS patent coverage enhanced to include exemplified
         JAN 16
                 prophetic substances
NEWS 18
         JAN 28
                 USPATFULL, USPAT2, and USPATOLD enhanced with new
                 custom IPC display formats
NEWS 19
         JAN 28
                 MARPAT searching enhanced
NEWS 20
         JAN 28
                 USGENE now provides USPTO sequence data within 3 days
                 of publication
         JAN 28
                 TOXCENTER enhanced with reloaded MEDLINE segment
NEWS 21
NEWS 22
         JAN 28
                 MEDLINE and LMEDLINE reloaded with enhancements
        FEB 08
                 STN Express, Version 8.3, now available
NEWS 23
        FEB 20
                 PCI now available as a replacement to DPCI
NEWS 24
NEWS 25
        FEB 25
                 IFIREF reloaded with enhancements
NEWS 26
        FEB 25
                 IMSPRODUCT reloaded with enhancements
        FEB 29
                 WPINDEX/WPIDS/WPIX enhanced with ECLA and current
NEWS 27
                 U.S. National Patent Classification
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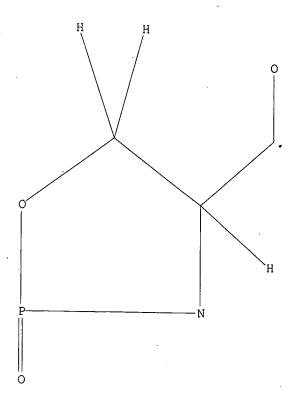
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L1 STRUCTURE UPLOADED

=> D L1

L1 HAS NO ANSWERS

L1 STR



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=> S L1 FULL
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FULL SCREEN SEARCH COMPLETED - 162 TO ITERATE

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86 ANSWERS

L2

86 SEA SSS FUL L1

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COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
178.36 178.57

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 11:06:51 ON 09 MAR 2008
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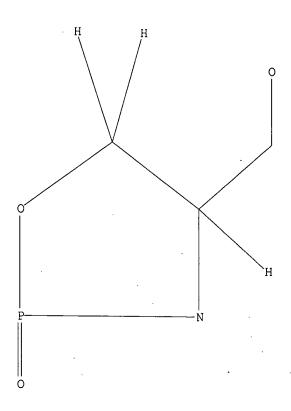
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L1 HAS NO ANSWERS

L1STR



Structure attributes must be viewed using STN Express query preparation.

=> S L2

30 L2 L3

=> D L3 IBIB ABS HITSTR 1-30

ANSWER 1 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2005:673309 CAPLUS

DOCUMENT NUMBER:

143:153649

TITLE:

Sphingomyelin, intermediates thereof and methods for

preparation of same

INVENTOR(S):

Rochlin, Elimelech; Hildesheim, Jean; Berlin, Alisa

PATENT ASSIGNEE(S): Biolab Ltd., Israel PCT Int. Appl., 39 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
WO 2005068480	A1	20050728	WO 2005-IL43	20050113		

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AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
                CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
                 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
           TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
                 EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
                 RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
                 MR, NE, SN, TD, TG
      AU 2005205245
                                          20050728
                                                         AU 2005-205245
                                 A1
                                                                                        20050113
                                                         CA 2005-2552797
      CA 2552797
                                 A1
                                          20050728
                                                                                       20050113
      EP 1704155
                                          20060927
                                                         EP 2005-703086
                                 A1
                                                                                       20050113
                AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                 IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS
      JP 2007517860
                                 T
                                          20070705
                                                         JP 2006-548580
                                                                                       20050113
      IN 2006DN03970
                                  Α
                                                         IN 2006-DN3970
                                          20070427
                                                                                       20060710
      US 2007282120
                                  Α1
                                          20071206
                                                         US 2007-586056
                                                                                        20070611
PRIORITY APPLN. INFO.:
                                                         US 2004-536507P
                                                                                       20040115
                                                         WO 2005-IL43
                                                                                    W
                                                                                       20050113
OTHER SOURCE(S):
                                CASREACT 143:153649; MARPAT 143:153649
```

AΒ A process was disclosed for the preparation of oxazaphospholanes, such as I [R = hydroxyl protecting group; R1 = hydrophobic group; R2 = H, C1-24 aliphatic moiety; X = leaving group], which are useful intermediates for the synthesis of sphingomyelins. Thus, N-(tert-butoxycarbonyl)-D-erythrosphingosine was reacted with ClSiPh2CMe3 using imidazole in CH2Cl2 to form N-(tert-Butoxycarbonyl)-O-(tert-butyldiphenylsilyl)-D-erythro-sphingosine in 56% yield. The N,O-diprotected sphingosine derivative was then reacted with POC13 using Et3N in CH2C12 to give the intermediate oxazaphospholane II (R = SiPh2CMe3) which was further converted to Npalmitoylsphingosylphosphorylcholine in 31% yield via reaction with choline tosylate and palmitoyl chloride using Et3N in CH2Cl2 and subsequent desilylation of the resulting O-silyl protected derivative with TBAF. ΙT 860021-45-0P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (process for the preparation of cyclic and acyclic oxazaphospholanes as intermediates for the synthesis of sphingomyelin and sphingomyelin analogs)

RN 860021-45-0 CAPLUS

CN 1,3,2-Oxazaphospholidine, 2-chloro-4-[(1R,2E)-1-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-2-hexadecenyl]-, 2-oxide, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

REFERENCE COUNT:

14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2005:547244 CAPLUS

DOCUMENT NUMBER:

143:60137

TITLE:

Preparation of phosphorous containing steroid mimics

for diagnostic and therapeutic uses

INVENTOR(S):

Rajagopalan, Raghavan

PATENT ASSIGNEE(S): SOURCE:

GI

Bioflexis, Llc, USA

U.S. Pat. Appl. Publ., 15 pp.

CODEN: USXXCO

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO US 2005137170 US 7294738			KIND D		DATE			APPLICATION NO.					DATE			
							US 2004-992906						20041119				
WO 2006055879							WO 2005-US42071						20051118				
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	KP,	KR,
		ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
		MZ,	NA,	NG,	NI,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
		SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,
•		VN,	YU,	ZA,	ZM,	zw				1							
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
		IS,	ΙT,	LT,	LU,	LV,	MC,	ΝL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG,	BW,	GH,
		GM,	KΕ,	LS,	MW,	ΜZ,	ΝA,	SD,	SL,	SZ,	ΤZ,	ŪĠ,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	ΚZ,	MD,	RU,	TJ,	TM									,	
PRIORITY APPLN. INFO.:									US 2	003-	5233	58P		P 2	0031	119	
										US 2	004	9929	06		A 21	0041	119
OTHER SOURCE(S):			CASREACT 143:60137; MARPAT 143:60137														

Ι

AB The present invention discloses novel steroid mimics, e.g. I, wherein a tri- or tetravalent phosphorous atom is isosterically substituted at any one of the seventeen positions occupied by the carbon atom in the steroidal skeleton, and wherein each adjacent position to the phosphorous is either unsubstituted or optionally substituted by nitrogen or an oxygen atom to satisfy the valency of said phosphorous atom (no data). phosphorous atom may be trivalent or tetravalent, and may be radioactive or non-radioactive. Other positions in the steroid mimics may be optionally substituted alkyl, aryl, or other polar or non-polar functional groups to optimize biodistribution, receptor binding, and pharmacokinetic properties.

854250-99-0P ΙT

> RL: DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phosphasteroids for diagnostic and therapeutic uses)

854250-99-0 CAPLUS RN

CN 6H-[1,3,2]Oxazaphospholo[2',3':2,3][1,3,2]diazaphosphorino[6,1a]isoquinoline-1-methanol, 1,2,7,11b,12,13-hexahydro-9-hydroxy-, 4-oxide (CA INDEX NAME)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

CAPLUS COPYRIGHT 2008 ACS on STN ANSWER 3 OF 30

ACCESSION NUMBER:

2000:578793 CAPLUS

DOCUMENT NUMBER:

133:296492

TITLE:

Synthesis of chiral 2-oxo- and 2-thio-1,3,2-

oxazaphospholidines via the asymmetric cyclization of

L-serinoates with (thio)phosphoryl dichlorides

AUTHOR(S):

He, Zheng-Jie; Chen, Wen-Bin; Zhou, Zheng-Hong; Tang,

Chu-Chi

CORPORATE SOURCE:

The State Key Laboratory of Elemento-Organic

Chemistry, Institute of Elemento-Organic Chemistry, Nankai University, Tianjin, 300071, Peop. Rep. China

SOURCE:

Synthetic Communications (2000), 30(18), 3473-3479

CODEN: SYNCAV; ISSN: 0039-7911

PUBLISHER:

Marcel Dekker, Inc.

DOCUMENT TYPE:

Journal

English

LANGUAGE: OTHER SOURCE(S):

CASREACT 133:296492

In this paper is described the asym. cyclization of L-serine derivs. with phosphoro(no-)dichloridates or their thio-analogs. The asym. induction effect of the chiral C center on the forming chiral P center was studied; the maximum %de was 63%. Some cyclization products were separated as a pure diastereomer and their configuration is preliminarily discussed.

123621-74-9P 123621-76-1P 123673-00-7P TΤ 123673-02-9P 272774-33-1P 272774-34-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

(asym. synthesis by cyclocondensation using serine derivative)

RN 123621-74-9 CAPLUS CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-methoxy-3-(phenylmethyl)-, methyl ester, 2-oxide, (2R,4S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 123621-76-1 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-phenoxy-3-(phenylmethyl)-, methyl ester, 2-oxide, (2S,4S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 123673-00-7 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-methoxy-3-(phenylmethyl)-, methyl ester, 2-oxide, (2S,4S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 123673-02-9 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-phenoxy-3-(phenylmethyl)-, methyl ester, 2-oxide, (2R,4S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 272774-33-1 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-(4-morpholinyl)-3-(phenylmethyl)-, methyl ester, 2-oxide, (2R,4S)- (CA INDEX NAME)

RN 272774-34-2 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-(4-morpholinyl)-3-(phenylmethyl)-, methyl ester, 2-oxide, (2S,4S)- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:445972 CAPLUS

DOCUMENT NUMBER: 133:222941

TITLE: Synthesis of novel optically active cyclic

phospholipid conjugates of Tegafur and uridine

starting from L-serine

AUTHOR(S): He, Zheng-Jie; Chen, Wen-Bin; Zhang, Cheng-Xiang;

Zhou, Zheng-Hong; Tang, Chu-Chi

CORPORATE SOURCE: The State Key Laboratory of Elemento-Organic

Chemistry, Institute of Elemento-Organic Chemistry,

Nankai University, Tianjin, 300071, Peop. Rep. China

SOURCE: Phosphorus, Sulfur and Silicon and the Related

Elements (2000), 160, 223-232 CODEN: PSSLEC; ISSN: 1042-6507

PUBLISHER: Gordon & Breach Science Publishers

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 133:222941

GI

H
$$\stackrel{\text{CO}_2\text{C}_8\text{H}_{17}}{\underset{\text{N}}{\text{H}_{\text{N}}}}$$
 $\stackrel{\text{H}_{\text{N}}}{\underset{\text{O}}{\text{P}}=\text{S}}$ $\stackrel{\text{O}}{\underset{\text{N}}{\text{O}}}$ $\stackrel{\text{O}}{\underset{\text{O}}{\text{N}}}$ $\stackrel{\text{O}}{\underset{\text{O}}{\text{N}}}$ $\stackrel{\text{O}}{\underset{\text{O}}{\text{N}}}$

AB Starting from L-serine, cyclic thiophosphoramidate conjugates, e.g. I, of Tegafur and uridine were synthesized via a multiple-step procedure of esterification, cyclic phosphorylation, and sulfurization, etc.

L-serinoate was N-alkylated, then cyclized with phosphorus oxychloride, and further reacted with N3-(2-hydroxyethyl) Tegafur to afford a cyclic phospholipid conjugate. The resultants title compds. were successfully separated in the form of pure diastereomer by column chromatog. on silica gel. Their configurations were discussed and assigned according to their NMR spectra. The asym. induction effects of the carbon-based chiral center on the diastereomer preference were also observed in these two synthetic phosphorylation cyclizations. The bioassay on their antitumor activities is under investigation.

IT 290815-83-7P 290815-86-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of cyclic phospholipid nucleosides of Tegafur and uridine starting from L-serine via cyclization and phosphorylation)

RN 290815-83-7 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-chloro-, methyl ester, 2-oxide, (2R,4S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 290815-86-0 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-chloro-, methyl ester, 2-oxide, (2S,4S)- (CA INDEX NAME)

Absolute stereochemistry.

IT 290815-82-6P 290815-87-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (synthesis of cyclic phospholipid nucleosides of Tegafur and uridine starting from L-serine via cyclization and phosphorylation)

RN 290815-82-6 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[2-[5-fluoro-3,6-dihydro-2,6-dioxo-3-[(2S)-tetrahydro-2-furanyl]-1(2H)-pyrimidinyl]ethoxy]-, methyl ester, 2-oxide, (2S,4S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 290815-87-1 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[2-[5-fluoro-3,6-dihydro-2,6-dioxo-3-[(2S)-tetrahydro-2-furanyl]-1(2H)-pyrimidinyl]ethoxy]-, methyl ester, 2-oxide, (2R,4S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

REFERENCE COUNT:

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2000:270185 CAPLUS

DOCUMENT NUMBER:

133:17544

TITLE:

Synthesis of chiral 2-oxo- and 2-thio-1,3,2-

oxazaphospholidines via the asymmetric cyclization of

L-serinoates with (thio)phosphoryl dichlorides

AUTHOR(S):

He, Zheng-Jie; Chen, Wen-Bin; Zhou, Zheng-Hong; Tang,

Chu-Chi

CORPORATE SOURCE:

The State Key Laboratory of Elemento-Organic

Chemistry, Institute of Elemento-Organic Chemistry, Nankai University, Tianjin, 300071, Peop. Rep. China

SOURCE: Heteroatom Chemistry (2000), 11(3), 187-191

CODEN: HETCE8; ISSN: 1042-7163

PUBLISHER:

John Wiley & Sons, Inc.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 133:17544

The authors have described the asym. cyclization of L-serinoates and N-benzyl L-serinoate with phosphoro(no-)dichloridates or their thio analogs, i.e., RP(S)Cl2 (R = OCH2CH2Br, OEt) or RP(O)Cl2 (R = Me, Pho, morpholino), and also the authors have studied the asym. induction effect of the chiral C center on the formation of a chiral P center. The diastereomeric excesses (de%) of the desired products 2-oxo and 2-thio-1,3,2-oxazaphospholidines were obtained based on 31P NMR data. In some cases, the cyclization products were separated as pure diastereomers by column chromatog. Their configuration is preliminarily discussed.

IT 123621-74-9P 123621-76-1P 123673-00-7P 123673-02-9P 272774-33-1P 272774-34-2P

RL: PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)

(preparation and separation of diastereomers by column chromatog.)

RN 123621-74-9 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-methoxy-3-(phenylmethyl)-, methyl ester, 2-oxide, (2R,4S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 123621-76-1 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-phenoxy-3-(phenylmethyl)-, methyl ester, 2-oxide, (2S,4S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 123673-00-7 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-methoxy-3-(phenylmethyl)-, methyl ester, 2-oxide, (2S,4S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 123673-02-9 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-phenoxy-3-(phenylmethyl)-, methyl ester, 2-oxide, (2R,4S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 272774-33-1 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-(4-morpholinyl)-3-(phenylmethyl)-, methyl ester, 2-oxide, (2R,4S)- (CA INDEX NAME)

RN 272774-34-2 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-(4-morpholinyl)-3-(phenylmethyl)-, methyl ester, 2-oxide, (2S,4S)- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2000:177619 CAPLUS

ACCESSION NOMBER. 2000.177019

DOCUMENT NUMBER: 132:322056

TITLE: Synthesis of novel optically active cyclic

phospholipid conjugates of tegafur and uridine

starting from L-serine

AUTHOR(S): He, Zheng-Jie; Chen, Wen-Bin; Zhang, Cheng-Xiang;

Zhou, Zheng-Hong; Tang, Chu-Chi

CORPORATE SOURCE: The State Key Laboratory of Elemento-Organic

Chemistry, Institute of Elemento-Organic Chemistry,

Nankai University, Tianjin, 300071, Peop. Rep. China

SOURCE: Synthetic Communications (2000), 30(5), 903-909

CODEN: SYNCAV; ISSN: 0039-7911

PUBLISHER: Marcel Dekker, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 132:322056

AB Starting from L-serine, cyclic phospholids were synthesized and successfully separated in the form of pure diastereomer. Their configurations were discussed and assigned according to their NMR spectra data. The

asym. induction effects were also observed in two phosphorylation

cyclizations. IT 266691-76-3P 266691-77-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of novel optically active cyclic phospholipid conjugates of tegafur and uridine starting from L-serine)

RN 266691-76-3 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-chloro-3-(phenylmethyl)-, octyl ester, 2-oxide, (2R,4S)- (CA INDEX NAME)

RN 266691-77-4 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-chloro-3-(phenylmethyl)-, octyl ester, 2-oxide, (2S,4S)- (CA INDEX NAME)

Absolute stereochemistry.

IT 266691-78-5P 266691-79-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (synthesis of novel optically active cyclic phospholipid conjugates of tegafur and uridine starting from L-serine)

RN 266691-78-5 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[2-[5-fluoro-3,6-dihydro-2,6-dioxo-3-(tetrahydro-2-furanyl)-1(2H)-pyrimidinyl]ethoxy]-3-(phenylmethyl)-, methyl ester, 2-oxide, (2R,4S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 266691-79-6 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[2-[5-fluoro-3,6-dihydro-2,6-dioxo-3-(tetrahydro-2-furanyl)-1(2H)-pyrimidinyl]ethoxy]-3-(phenylmethyl)-, methyl ester, 2-oxide, (2S,4S)- (CA INDEX NAME)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:606847 CAPLUS

DOCUMENT NUMBER: 131:337089

TITLE: Synthesis and quantitative structure-activity

relationship of a new series of chiral 4-alkoxycarbonyl-2-(alkylamino)-1,3,2-oxa or

thiazaphospholidine-2-ones

AUTHOR(S): Ali, Hussein M.; Mohamed, Khaled A.

CORPORATE SOURCE: Agricultural Biochemistry Department, Faculty of

Agriculture, Ain Shams University, Cairo, 11241, Egypt

SOURCE: Heteroatom Chemistry (1999), 10(6), 475-480

CODEN: HETCE8; ISSN: 1042-7163

PUBLISHER: John Wiley & Sons, Inc.

DOCUMENT TYPE: Journal LANGUAGE: English

AB Twenty-one of the chiral 4-alkoxycarbonyl-2- $(\alpha$ -alkyl- α -ethoxycarbonyl methylamino)-1,3-2-thia or oxazaphospholidine-2-ones were

synthesized by cyclization of L-serine or L-cysteine Et or n-octyl ester with phosphoryl chloride followed by reaction with a suitable L-amino acid Et ester. 1H NMR, IR, and mass spectra of these compds. are discussed. These compds. inhibited up to 68.52% of acetylcholinesterase (AChE) at the 1 ppm concentration level. Regression anal. showed that AChE inhibition was determined by both the steric and electronic effects of the alkyl groups of the amino acid. The enzyme inhibition correlated directly with the steric bulk of the alkyl groups, indicating a steric requirement for maximizing inhibitor-enzyme interaction and an inverse relation with the electron-donating ability of the alkyl groups. This supports the concept of a nucleophilic attack mechanism of a hydroxyl group of a serine amino acid in the enzyme active center on the partially pos. P atom of the oxazaphospholidines and thiazaphospholidines, with correlation coeffs. of 0.999 and 0.838, resp. Also the steric requirement was more important than the electronic factor in affecting the inhibition process, which explained the high activity of compds. containing the isoleucine moiety. high AChE inhibition activity of these compds. and the expected nontoxic products of their in vivo hydrolysis make them eligible for pesticidal application.

IT 249644-05-1P 249644-06-2P 249644-07-3P 249644-08-4P 249644-09-5P 249644-10-8P 249644-11-9P 249644-12-0P 249644-13-1P

249644-14-2P 249644-15-3P 249644-16-4P

249644-17-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and acetylcholinesterase inhibition activity by)

RN 249644-05-1 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[(2-ethoxy-2-oxoethyl)amino]-, ethyl ester, 2-oxide, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 249644-06-2 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[[(1S)-2-ethoxy-1-methyl-2-

oxoethyl]amino]-, ethyl ester, 2-oxide, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 249644-07-3 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[[(1S)-1-(ethoxycarbonyl)-2-methylpropyl]amino]-, ethyl ester, 2-oxide, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 249644-08-4 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[[(1S)-1-(ethoxycarbonyl)-2-methylbutyl]amino]-, ethyl ester, 2-oxide, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 249644-09-5 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[[(1S)-2-ethoxy-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-, ethyl ester, 2-oxide, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 249644-10-8 CAPLUS

CN L-Glutamic acid, N-[(4S)-4-(ethoxycarbonyl)-2-oxido-1,3,2-oxazaphospholidin-2-yl]-, diethyl ester (9CI) (CA INDEX NAME)

RN 249644-11-9 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[[(1S)-1-(ethoxycarbonyl)-3-(methylthio)propyl]amino]-, ethyl ester, 2-oxide, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 249644-12-0 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[(2-ethoxy-2-oxoethyl)amino]-, octyl ester, 2-oxide, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 249644-13-1 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[[(1S)-1-(ethoxycarbonyl)-2-methylpropyl]amino]-, octyl ester, 2-oxide, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 249644-14-2 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[[(1S)-1-(ethoxycarbonyl)-2-methylbutyl]amino]-, octyl ester, 2-oxide, (4S)- (CA INDEX NAME)

RN 249644-15-3 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[[(1S)-2-ethoxy-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]amino]-, octyl ester, 2-oxide, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 249644-16-4 CAPLUS

CN L-Glutamic acid, N-[(4S)-4-[(octyloxy)carbonyl]-2-oxido-1,3,2-oxazaphospholidin-2-yl]-, diethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 249644-17-5 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[[(1S)-1-(ethoxycarbonyl)-3-(methylthio)propyl]amino]-, octyl ester, 2-oxide, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1995:930488 CAPLUS

DOCUMENT NUMBER: 124:117920

TITLE: Reactions of N-phosphoryl serine and threonine and

their esters catalyzed by imidazole

AUTHOR(S): Yan, Qing-Jin; Yin, Ying-Wu; Wang, Qian; Mao,

Qing-Qun; Zhao, Yu-Fen

CORPORATE SOURCE: Dep. of Chemistry, Tsinghua University, Beijing,

100084, Peop. Rep. China

SOURCE: Gaodeng Xuexiao Huaxue Xuebao (1995), 16(10), 1563-6

CODEN: KTHPDM; ISSN: 0251-0790

PUBLISHER: Gaodeng Jiaoyu Chubanshe

DOCUMENT TYPE: Journal LANGUAGE: Chinese

GΙ

AB Reactions of N-phosphoryl serine and threonine and their esters I (R1, R2 = H, H; H, Me; Me, H; Me, Me) in the presence of imidazole gave phosphaoxazoles II. product was also detected. A new type of pentacoordinate phosphorus intermediate was proposed.

IT 173006-36-5P 173006-37-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (reactions of N-phosphoryl serine and threonine and their esters catalyzed by imidazole)

RN 173006-36-5 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-(1-methylethoxy)-, 2-oxide, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 173006-37-6 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-(1-methylethoxy)-, methyl ester, 2-oxide, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

CORPORATE SOURCE:

L3 ANSWER 9 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:545470 CAPLUS

DOCUMENT NUMBER: 123:228836

TITLE: differentiation between N-phosphoryl homoserine and

seri

AUTHOR(S): Yan, Qing Jin; Yin, Ying Wu; Wang, Qian; Zhao, Yu Fen

Dep. Chem., Tsinghua Univ., Beijing, 100084, Peop.

Rep. China

SOURCE:

Chinese Chemical Letters (1995), 6(4), 267-70

CODEN: CCLEE7

PUBLISHER:

Chinese Chemical Society

DOCUMENT TYPE:

Journal

LANGUAGE:

English

N-phosphoryl homo-serine is much more stable than the homologous

phosphorylated serine. The latter readily undergoes N \rightarrow O

migration and formation of peptide and cyclophosphoroamidate on warming in

alc. or chloroform at 40°. N-phosphoryl homo-serine undergoes

limited ester exchange. A penta-coordinate phosphorus intermediate is

proposed.

ΙT 168335-33-9P 168335-34-0P 168608-77-3P

RL: SPN (Synthetic preparation); PREP (Preparation)

(reactivities of phosphoryl homoserine and phosphoryl serine)

RN 168335-33-9 CAPLUS

1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-(1-methylethoxy)-, 2-oxide, CN

(2R-trans) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 168335-34-0 CAPLUS

1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-(1-methylethoxy)-, methyl ester, 2-oxide (CA INDEX NAME)

RN 168608-77-3 CAPLUS

1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-(1-methylethoxy)-, 2-oxide, CN (2S-cis) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 10 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

1994:509464 CAPLUS

DOCUMENT NUMBER:

121:109464

TITLE:

Synthesis of [32P] labeled 1-O-alkyl-2-desoxy-2-amino-

sn-glycero-3-phosphocholines

AUTHOR(S):

Deigner, H. P.; Fyryns, B.

CORPORATE SOURCE:

Pharm. Chem. Inst., Univ. Heidelberg, Heidelberg,

69120, Germany

SOURCE:

Journal of Labelled Compounds and Radiopharmaceuticals

(1994), 34(2), 185-9

CODEN: JLCRD4; ISSN: 0362-4803

DOCUMENT TYPE: LANGUAGE:

Journal English

GI

AB The syntheses of N-substituted 1-O-alkyl-2-deoxy-2-amino-sn-glycero-3- [32P]phosphocholines I [R = (Z)-MeCOCH:CH, MeCO; R1 = Me(CH2)9, Me(CH2)15] were performed in four steps starting from [32P]-POCl3 and the corresponding 1-O-alkyl-2-amino-propan-3-ols II in 5-7% total yield.

IT 156593-41-8P 156593-42-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(preparation and esterification of, with choline tosylate)

RN 156593-41-8 CAPLUS

CN 1,3,2-Oxazaphospholidine-2-32P, 2-chloro-4-[(decyloxy)methyl]-, 2-oxide, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 156593-42-9 CAPLUS

CN 1,3,2-Oxazaphospholidine-2-32P, 2-chloro-4-[(hexadecyloxy)methyl]-, 2-oxide, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 156593-43-0P 156593-44-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and hydrolysis of)

RN 156593-43-0 CAPLUS

CN Ethanaminium, 2-[[4-[(decyloxy)methyl]-2-oxido-1,3,2-oxazaphospholidin-2-yl]oxy-2-32P]-N,N,N-trimethyl-, (4R)- (9CI) (CA INDEX NAME)

RN 156593-44-1 CAPLUS

CN Ethanaminium, 2-[[4-[(hexadecyloxy)methyl]-2-oxido-1,3,2-oxazaphospholidin-2-yl-2-32P]oxy]-N,N,N-trimethyl-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 11 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1993:213169 CAPLUS

DOCUMENT NUMBER: 118:213169

TITLE: Synthesis of chiral phosphorus mustards derived from

serine

AUTHOR(S): Jackson, John A.; Frick, Jeffrey A.; Thompson, Charles

Μ.

CORPORATE SOURCE: Dep. Chem., Loyola Univ. Chicago, Chicago, IL, 60626,

USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (1992),

2(12), 1547-50

CODEN: BMCLE8; ISSN: 0960-894X

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 118:213169

Ι

The synthesis and biol. evaluation of chiral, diastereomeric phosphorus mustards, e.g., oxazaphospholidinone derivative I, derived from natural and unnatural serine are reported herein. Thus, serine was converted to N-benzyl Me serinoate and then reacted with POCl3 to give a diastereomeric pair of 2-chlorooxazaphospholidin-2-one (II). Without purification, II reacted with bis(2-chloroethyl)amine to give I as a mixture of syn and anti diastereomers.

IT 123621-73-8P 123672-99-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and P-amination of, with bis(chloroethyl)amine)

RN 123621-73-8 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-chloro-3-(phenylmethyl)-, methyl ester, 2-oxide, (2S-cis)- (9CI) (CA INDEX NAME)

RN 123672-99-1 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-chloro-3-(phenylmethyl)-, methyl ester, 2-oxide, (2R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 147102-78-1P 147200-96-2P 147200-97-3P

147200-98-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation, anti-cancer and anti-HIV activity of)

RN 147102-78-1 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[bis(2-chloroethyl)amino]-3-(phenylmethyl)-, methyl ester, 2-oxide, (2R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 147200-96-2 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[bis(2-chloroethyl)amino]-3-(phenylmethyl)-, methyl ester, 2-oxide, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 147200-97-3 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[bis(2-chloroethyl)amino]-3-(phenylmethyl)-, methyl ester, 2-oxide, (2S-trans)- (9CI) (CA INDEX NAME)

RN 147200-98-4 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[bis(2-chloroethyl)amino]-3-(phenylmethyl)-, methyl ester, 2-oxide, (2R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 12 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

DOCUMENT NUMBER:

1993:59782 CAPLUS 118:59782

TITLE:

Stereoselective and chemoselective oxidation of

phosphorothionates using MMPP

AUTHOR(S):

Jackson, John A.; Berkman, Clifford E.; Thompson,

Charles M.

CORPORATE SOURCE:

Dep. Chem., Loyola Univ., Chicago, IL, 60626, USA

SOURCE:

Tetrahedron Letters (1992), 33(41), 6061-4 CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: ·

LANGUAGE:

Journal

OTHER SOURCE(S):

English

GI

CASREACT 118:59782



- AB MMPP (monoperoxyphthalic acid, magnesium salt) converts phosphorothionates R1R2P(S)X (e.g., R1 = R2 = OMe, X = OC6H4NO2-4) to the corresponding oxons R1R2P(O)X in good yield with excellent chemoselectivity and stereoselectivity. Also, treatment of thiooxazaphospholidine I (Z = S) with MMPP in CH2Cl2 at reflux afforded I (Z = O) in 62% isolated yield stereoselectively.
- IT 145236-97-1P 145307-20-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 - (preparation and stereoselective thionation of, with Lawesson's reagent)
- RN 145236-97-1 CAPLUS CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-(methylthio)-3-

(phenylmethyl)-, methyl ester, 2-oxide, (2R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 145307-20-6 CAPLUS

1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-(methylthio)-3-(phenylmethyl)-, methyl ester, 2-oxide, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 13 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:426980 CAPLUS

DOCUMENT NUMBER: 117:26980

TITLE: Rapid synthesis of 2-desoxy-2-amino-3-phosphocholine-

glycerinic-acid-alkylester, 1-alkyl-1-desoxy- and

1-O-alkyl-2-desoxy-2-amino-sn-glycero-3-

phosphocholines, -3-phospho-N, N'-dimethylethanolamine

and -3-phospho-Fmoc-serine-methylester

AUTHOR(S): Deigner, Hans Peter; Fyrnys, Beatrix

Journal

CORPORATE SOURCE: Pharm.-Chem. Inst., Univ. Heidelberg, Heidelberg,

D-6900, Germany

SOURCE: Chemistry and Physics of Lipids (1992), 61(2), 199-208

CODEN: CPLIA4; ISSN: 0009-3084

DOCUMENT TYPE:

LANGUAGE: English

GΙ

AB H2NCHRCH2OP(O)(O-)OCH2CH2N+Me3 [R = CO2(CH2)nMe, Bu, CH2O(CH2)pMe; n = 4, 7; p = 7, 9] were prepared from the alcs. H2NCHRCH2OH by cyclization with POC13, reaction of the oxaazaphospholanes I with choline tosylate, and hydrolysis. Me(CH2)90CH2CH(NH2)CH2OP(O)(OH)OCH2CH2NMe2 and Me(CH2) 90CH2CH(NH2) CH2OP(O)(OH) OCH2CH(NHR1) CO2Me (R1 =

9-fluorenylmethoxycarbonyl) were similarly prepared

141858-44-8P 141858-45-9P 141858-47-1P 141858-48-2P 141858-59-5P 141858-63-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation and hydrolysis of)

RN 141858-44-8 CAPLUS

CN Ethanaminium, N,N,N-trimethyl-2-[[2-oxido-4-[(pentyloxy)carbonyl]-1,3,2-oxazaphospholidin-2-yl]oxy]- (9CI) (CA INDEX NAME)

RN 141858-45-9 CAPLUS

CN Ethanaminium, N,N,N-trimethyl-2-[[4-[(octyloxy)carbonyl]-2-oxido-1,3,2-oxazaphospholidin-2-yl]oxy]- (9CI) (CA INDEX NAME)

$$\frac{O}{N}$$
 $\frac{H}{N}$ $\frac{O}{C}$ $\frac{H}{C}$ $\frac{O}{C}$ \frac{O}

RN 141858-47-1 CAPLUS

CN Ethanaminium, N,N,N-trimethyl-2-[[4-[(octyloxy)methyl]-2-oxido-1,3,2-oxazaphospholidin-2-yl]oxy]- (9CI) (CA INDEX NAME)

$$Me_3+N-CH_2-CH_2-O$$
 P
 N
 $CH_2-O-(CH_2)_7-Me$

RN 141858-48-2 CAPLUS

CN Ethanaminium, 2-[[4-[(decyloxy)methyl]-2-oxido-1,3,2-oxazaphospholidin-2-yl]oxy]-N,N,N-trimethyl- (9CI) (CA INDEX NAME)

$$Me_3+N-CH_2-CH_2-O$$
 P
 N
 $CH_2-O-(CH_2)_9-Me$

RN 141858-59-5 CAPLUS

CN 1,3,2-Oxazaphospholidine, 2-(2-bromoethoxy)-4-[(decyloxy)methyl]-, 2-oxide (CA INDEX NAME)

$$CH_2-CH_2-O-(CH_2)_9-Me$$

RN 141858-63-1 CAPLUS

CN L-Serine, O-[4-[(decyloxy)methyl]-2-oxido-1,3,2-oxazaphospholidin-2-yl]-N-

[(9H-fluoren-9-ylmethoxy)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

. Absolute stereochemistry.

IT 141858-39-1P 141858-40-4P 141858-42-6P

141858-43-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with choline tosylate)

RN 141858-39-1 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-chloro-, pentyl ester, 2-oxide (CA INDEX NAME)

RN 141858-40-4 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-chloro-, octyl ester, 2-oxide (CA INDEX NAME)

RN 141858-42-6 CAPLUS

CN 1,3,2-Oxazaphospholidine, 2-chloro-4-[(octyloxy)methyl]-, 2-oxide (CA INDEX NAME)

$$CH_2-O-(CH_2)_7-Me$$

RN 141858-43-7 CAPLUS

CN 1,3,2-Oxazaphospholidine, 2-chloro-4-[(decyloxy)methyl]-, 2-oxide (CA INDEX NAME)

$$C1$$
 p
 $CH_2-O-(CH_2)9-Me$

L3 ANSWER 14 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

1990:36411 CAPLUS

DOCUMENT NUMBER:

112:36411

TITLE:

Synthesis, configuration, and chemical shift

correlations of chiral 1,3,2-oxazaphospholidin-2-ones

derived from 1-serine

AUTHOR(S):

Thompson, Charles M.; Frick, Jeffrey A.; Green, Diana

L. C.

CORPORATE SOURCE:

SOURCE:

Dep. Chem., Loyola Univ., Chicago, IL, 60626, USA

Journal of Organic Chemistry (1990), 55(1), 111-16

CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE:

LANGUAGE:

Journal English

OTHER SOURCE(S):

CASREACT 112:36411

GI

The reaction between PhCH2-Ser-OMe and POCl3 leads to the diastereomeric chloro-1,3,2-oxazaphospholidin-2-ones I (R = Cl). Reaction of the chloridates with alcs. or phenols in the presence of base affords the corresponding alkoxy or aryloxy derivs. I (R = MeO, EtO, PhO, 4-O2NC6H4O) in 66-94% yields, which were readily separated by standard chromatog. methods. The stereochem. arrangement of these compds. was established by 13C and 31P NMR chemical shift correlations and by single-crystal x-ray anal. The trans geometry of the carbomethoxy and exocyclic phosphorus ligand resulted in approx. a 1 ppm upfield shift in the 31P spectra relative to the cis isomer. The 13C NMR spectra revealed an opposite trend in the heteroatom-bound alkyl region with most of the trans isomer signals appearing downfield (0.2-1.2 ppm) from the corresponding cis isomer.

IT 123621-74-9P 123621-75-0P 123621-76-1P 123621-77-2P 123673-00-7P 123673-01-8P

123673-02-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and carbon-13 and phosphorus-31 NMR of)

RN 123621-74-9 CAPLUS

EN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-methoxy-3-(phenylmethyl)-,
 methyl ester, 2-oxide, (2R,4S)- (CA INDEX NAME)

RN 123621-75-0 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-ethoxy-3-(phenylmethyl)-, methyl ester, 2-oxide, (2R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 123621-76-1 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-phenoxy-3-(phenylmethyl)-, methyl ester, 2-oxide, (2S,4S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 123621-77-2 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-(4-nitrophenoxy)-3-(phenylmethyl)-, methyl ester, 2-oxide, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 123673-00-7 CAPLUS

In 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-methoxy-3-(phenylmethyl)-,
methyl ester, 2-oxide, (2S,4S)- (CA INDEX NAME)

RN 123673-01-8 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-ethoxy-3-(phenylmethyl)-, methyl ester, 2-oxide, (2S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 123673-02-9 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-phenoxy-3-(phenylmethyl)-, methyl ester, 2-oxide, (2R,4S)- (CA INDEX NAME)

Absolute stereochemistry.

IT 123621-73-8P 123672-99-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation, NMR, and substitution reactions of, with alcs. and phenols)

RN 123621-73-8 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-chloro-3-(phenylmethyl)-, methyl ester, 2-oxide, (2S-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 123672-99-1 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-chloro-3-(phenylmethyl)-, methyl ester, 2-oxide, (2R-trans)- (9CI) (CA INDEX NAME)

ΙT 123673-03-0P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation, methanolysis, and carbon-13 and phosphorus-31 NMR of)

123673-03-0 CAPLUS RN

1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-(4-nitrophenoxy)-3-CN (phenylmethyl)-, methyl ester, 2-oxide, (2R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

CAPLUS COPYRIGHT 2008 ACS on STN ANSWER 15 OF 30

ACCESSION NUMBER:

1988:112555 CAPLUS

DOCUMENT NUMBER:

108:112555

TITLE:

Synthesis of N-Lost derivatives. II. Reaction of

N, N-bis(2-chloroethyl)phosphoramidic dichloride with

1-aminopropane-2,3-diol

AUTHOR(S):

Lorenz, Peter; Wiessler, Manfred

CORPORATE SOURCE:

Inst. Toxikol. Chemother., Dtsch.

Krebsforschungszent., Heidelberg, 6900, Fed. Rep. Ger.

SOURCE:

Archiv der Pharmazie (Weinheim, Germany) (1986),

319(11), 1023-7

CODEN: ARPMAS; ISSN: 0365-6233

DOCUMENT TYPE:

Journal

LANGUAGE:

German

OTHER SOURCE(S):

CASREACT 108:112555

GΙ

For diagram(s), see printed CA Issue. Cyclization of (ClCH2CH2) 2NP(O) Cl2 with H2NCH2CH(OH) CH2OR (R = H, Ph, AB

CH2Ph) gave oxazaphospholanones I.

105847-70-9P 105847-71-0P 105847-72-1P IT

105847-73-2P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and spectra of)

RN 105847-70-9 CAPLUS

1,3,2-Oxazaphospholidine-4-methanol, 2-[bis(2-chloroethyl)amino]-, CN 2-oxide, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 105847-71-0 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-methanol, 2-[bis(2-chloroethyl)amino]-, 2-oxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 105847-72-1 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-methanol, 2-[bis(2-chloroethyl)amino]-, acetate (ester), 2-oxide, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 105847-73-2 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-methanol, 2-[bis(2-chloroethyl)amino]-, acetate (ester), 2-oxide, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L3 ANSWER 16 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1980:471200 CAPLUS

DOCUMENT NUMBER: 93:71200

ORIGINAL REFERENCE NO.: 93:11565a,11568a

TITLE: Tumor chemotherapy. XXXVIII. Synthesis of

chloramphenicol analogs

AUTHOR(S): Zheng, Yi-Ya; Kao, Yee-Sheng

CORPORATE SOURCE: Dep. Chem., Zhong-Shan Univ., Canton, Peop. Rep. China

SOURCE: Yaoxue Xuebao (1979), 14(10), 628-31

CODEN: YHHPAL; ISSN: 0513-4870

DOCUMENT TYPE:

LANGUAGE:

GI

Journal Chinese

MeO — CH (OH) CHRCH2OH

MeO — CH (OH) —
$$\stackrel{\text{H}}{\stackrel{\text{O}}{\stackrel{\text{II}}{\stackrel{\text{N}}{\stackrel{\text{N}}{\stackrel{\text{O}}{\stackrel{\text{N}}{\stackrel{\text{O}}{\stackrel{\text{CH}}{\stackrel{\text{CH}}{\stackrel{\text{C}}{\stackrel{\text{C}}{\stackrel{\text{N}}{\stackrel{\text{O}}}{\stackrel{\text{O}}{\stackrel{\text{O}}{\stackrel{\text{O}}{\stackrel{\text{O}}{\stackrel{\text{O}}}{\stackrel{\text{O}}{\stackrel{\text{O}}{\stackrel{\text{O}}{\stackrel{\text{O}}{\stackrel{\text{O}}{\stackrel{\text{O}}{\stackrel{\text{O}}{\stackrel{\text{O}}}{\stackrel{\text{O}}{\stackrel{\text{O}}}{\stackrel{\text{O}}{\stackrel{\text{O}}}{\stackrel{\text{O}}{\stackrel{\text{O}}}{\stackrel{\text{O}}}{\stackrel{\text{O}}}{\stackrel{\text{O}}}{\stackrel{\text{O}}}{\stackrel{\text{O}}}}\stackrel{\text{O}}{\stackrel{\text{O}}}}}{\stackrel{\text{O}}}}}{\stackrel{\text{O}}}}}{}}}}}}}} IIII$$

AB The title compds. I [R = N:CHC6H3[N(CH2CH2Cl)2]R1-4,2; R1 = H, Me (II), OMe; NHCOCH2OC6H3Cl2-2,4, NHCOCH2OC6H2Cl3-2,4,5] and III were prepared by condensation of I (R = NH2) with the corresponding aldehydes, acyl chlorides and Cl2P(O)N(CH2CH2Cl)2. II possessed a moderate inhibiting action against S-180 in mice.

IT 74020-72-7P

RN 74020-72-7 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-methanol, 2-[bis(2-chloroethyl)amino]- α -(4-methoxyphenyl)-, 2-oxide (CA INDEX NAME)

$$\begin{array}{c|c} \text{C1CH}_2-\text{CH}_2-\text{N} & \text{OH} \\ \text{C1CH}_2-\text{CH}_2 & \text{OMe} \end{array}$$

L3 ANSWER 17 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

1978:500002 CAPLUS

DOCUMENT NUMBER:

89:100002

ORIGINAL REFERENCE NO.:

89:15175a,15178a

TITLE:

Synthesis and antitumor evaluation of 4-ethoxycarbonyl

cyclophosphamide analogs

AUTHOR(S):

Foster, Emerson L.

CORPORATE SOURCE:

VA Hosp., Indianapolis, IN, USA

SOURCE:

Journal of Pharmaceutical Sciences (1978), 67(5),

709-10

CODEN: JPMSAE; ISSN: 0022-3549

DOCUMENT TYPE:

Journal

LANGUAGE:

English

GI

Ι

AΒ 4-Ethoxycarbonyl analogs of cyclophosphamide and its five-membered ring homolog were synthesized utilizing the cyclization method previously described. N,N-bis(2-chloroethyl)-4-ethoxycarbonyl-1,3,2oxazaphospholidine-2-amine-2-oxide (I) [7521-84-8] demonstrated activity against L-1210 lymphoid leukemia whereas N,N-bis(2-chloroethyl)-4-(ethoxycarbonyl)tetrahydro-2H-1,3,2-oxazaphosphorin-2-amine 2-oxide [67345-22-6] did not. The oxazaphosphorin-2-amine also was not effective against human epidermoid carcinoma of the nasopharynx (cell culture).

ΙT 7521-84-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of and neoplasm inhibition by)

7521-84-8 CAPLUS

1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[bis(2-chloroethyl)amino]-, ethyl ester, 2-oxide (CA INDEX NAME)

L3 ANSWER 18 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1974:83602 CAPLUS

DOCUMENT NUMBER:

80:83602 ORIGINAL REFERENCE NO.: 80:13465a,13468a

TITLE:

New cyclophosphamide analogs derived from hydroxyamino

acids and some peptides thereof

AUTHOR(S):

Szekerke, M.

CORPORATE SOURCE:

SOURCE:

Inst. Org. Chem., Eotvos Lorand Univ., Budapest, Hung. Annales Universitatis Scientiarum Budapestinensis de Rolando Eotvos Nominatae, Sectio Chimica (1972), No.

13, 57-67

CODEN: ABRCAW; ISSN: 0365-088X

DOCUMENT TYPE:

Journal English

LANGUAGE: GΙ For diagram(s), see printed CA Issue.

AB Phosphorodiamidic ester I-V (R = 4-02NC6H4, H, Ph; R1 = H, Et, Me; R2 =.PhCH2, Me2CH, 3-indolylmethyl; R3 = H, Ph) (10 compds.) containing Ser-Ser, Ser-Tyr, Ser-Trp, Ser-Phe, Ser-Val were prepared The dipeptides were prepared by the usual coupling methods and were coupled with (C1CH2CH2)2NP(0)C12 to give I-V.

51482-27-0P 51550-19-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

51482-27-0 CAPLUS

CN L-Tryptophan, N-[[2-[bis(2-chloroethyl)amino]-2-oxido-1,3,2oxazaphospholidin-4-yl]carbonyl]-, methyl ester, (S)- (9CI) (CA INDEX NAME)

RN 51550-19-7 CAPLUS

CN L-Tryptophan, N-[[2-[bis(2-chloroethyl)amino]-2-oxido-1,3,2-oxazaphospholidin-4-yl]carbonyl]-, methyl ester, (R)- (9CI) (CA INDEX NAME)

L3 ANSWER 19 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1968:3164 CAPLUS

DOCUMENT NUMBER: 68:3164

ORIGINAL REFERENCE NO.: 68:631a,634a

TITLE: Synthesis of stereoisomeric 1,3,2-oxazaphospholane

derivatives

AUTHOR(S): Kaz'mina, N. B.; Knunyants, I. L.

CORPORATE SOURCE: Inst. Elementoorg. Soed., Moscow, USSR

SOURCE: Izvestiya Akademii Nauk SSSR, Seriya Khimicheskaya

(1967), (4), 913-15

CODEN: IASKA6; ISSN: 0002-3353

DOCUMENT TYPE: Journal LANGUAGE: Russian

GI For diagram(s), see printed CA Issue.

AB dl-Serine benzyl ester and (ClCH2CH2)2NP(0)Cl2 followed by hydrogenation gave 50% high-melting isomer of I (R = H), decomposing at 160° (MeOH); it is precipitated by acids from its Na salt and gives a color test with ninhydrin only after prolonged heating. The residual mother liquor after separation of this I isomer gave on evaporation about 25% low-melting isomer of I,

decomposing at 147-8°, which was more soluble in organic solvents but which gave a ninhydrin color test also very slowly. C6H11NH2 gave the corresponding salts with high-melting I, m. 88° (monohydrate) or decomposed at 150° (anhydrous), and with low-melting I, decomposed at 153°. Both salts regenerated the appropriate I on being acidified with HCl. High-melting I and CH2N2 gave I (R = Me), m. 96°; low-melting I similarly gave the analog I (R = Me) which could not be crystallized and remained as an oil. The isomeric I had different mobilities on Al2O3. The geometric configurations of isomeric I were not determined but with dl-serine as the starting material the above pair of isomers of I (R = H) are actually a pair of racemates in which the substituents at the P atom have cis and trans positions relative to CO2H group in the ring.

IT 16398-86-0P 16398-87-1P 16398-88-2P 16398-89-3P 18822-54-3P 18883-61-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 16398-86-0 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[bis(2-chloroethyl)amino]-, 2-oxide, cis-(±)- (8CI) (CA INDEX NAME)

Relative stereochemistry.

RN 16398-87-1 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[bis(2-chloroethyl)amino]-, 2-oxide, trans-(\pm)- (8CI) (CA INDEX NAME)

Relative stereochemistry.

RN 16398-88-2 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[bis(2-chloroethyl)amino]-, 2-oxide, compd. with cyclohexylamine (1:1), cis-(\pm)- (8CI) (CA INDEX NAME)

CM 1

CRN 16398-87-1 CMF C7 H13 C12 N2 O4 P

011 07 1115 012 112 04 1

Relative stereochemistry.

CM 2

CRN 108-91-8 CMF C6 H13 N

RN 16398-89-3 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[bis(2-chloroethyl)amino]-, 2-oxide, compd. with cyclohexylamine (1:1), trans-(±)- (8CI) (CA INDEX NAME)

CM 1

CRN 16398-86-0 CMF C7 H13 C12 N2 O4 P

Relative stereochemistry.

CM 2

CRN 108-91-8 CMF C6 H13 N

RN 18822-54-3 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[bis(2-chloroethyl)amino]-, methyl ester, 2-oxide, DL-trans- (8CI) (CA INDEX NAME)

Relative stereochemistry.

RN 18883-61-9 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[bis(2-chloroethyl)amino]-, methyl ester, 2-oxide, DL-cis- (8CI) (CA INDEX NAME)

Relative stereochemistry.

L3 ANSWER 20 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1966:438767 CAPLUS

DOCUMENT NUMBER: 65:38767

ORIGINAL REFERENCE NO.: 65:7262h,7263a

TITLE: Procedure for the selective modification of carboxyl

groups in proteins

AUTHOR(S):

Hoare, D. G.; Koshland, D. E., Jr. Brookhaven Natl. Lab., Upton, NY

CORPORATE SOURCE: SOURCE:

Journal of the American Chemical Society (1966),

88(9), 2057-8

CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 65:38767

A procedure involving a H2O-soluble carbodiimide and a modifying reagent leading to rapid and quant. modification of carboxyl groups under mild conditions was reported. Kinetic studies with simple carboxylic acids led to conditions giving quant. yields. N-Benzyl-N'-3dimethylaminopropylcarbodiimide and glycine methyl ester were used as the carbodiimide and the modifying reagent, resp. The reaction was then tested with chymotrypsin and trypsin.

IT 7521-84-8

(Derived from data in the 7th Collective Formula Index (1962-1966))

7521-84-8 CAPLUS RN

1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[bis(2-chloroethyl)amino]-, CN ethyl ester, 2-oxide (CA INDEX NAME)

ANSWER 21 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1966:438766 CAPLUS

DOCUMENT NUMBER: 65:38766

ORIGINAL REFERENCE NO.: 65:7261g-h,7262g-h

TITLE:

Potential anticancer agents. III. Preparation of amino

acid derivatives of bis(β -

chloroethyl)phosphoramidic dichloride

AUTHOR(S):

Sung, Wei-Liang; Hou, Shang-Chou; Chao, Han-Fei; Yang,

Ching-Hua; Ku, Hsiao Hsien

CORPORATE SOURCE:

Chinese Acad. Med. Sci., Peking Yaoxue Xuebao (1966), 13(2), 126-30

CODEN: YHHPAL; ISSN: 0513-4870

DOCUMENT TYPE:

Journal

LANGUAGE:

SOURCE:

Chinese

For diagram(s), see printed CA Issue.

cf. CA 64, 9626a. Since malignant tumors have higher phosphamidase AB activities than the normal tissues, it would be possible to use phosphoramide nitrogen mustard derivs. to carry such a cytotoxic agent preferentially to the tumor tissues. Amino acid derivs. of Cl2P(O)N(CH2CH2Cl)2 were prepared to test whether the N-phosphorylated amino acid moiety would function as the carrier of nitrogen mustard derivs. to malignant cells. Such compds. can be prepared with 2 equivs. of Et ester on several amino acids in an inert solvent with Et3N. Reaction of Cl2P(O)OH with an equivalent of serine Et ester yielded a cyclic derivative (C1CH2CH2)2-NP(O)(NHCHRCO2Et)2 prepared were (R and % yield (given)): H, 80 (m. $88-90^{\circ}$); Me, 88 (n26D 1.4819); iso-Pr, 53 (n20D 1.4800); iso-Bu, 63 (n19D 1.4766); PhCH2, 25 (m. 113-15°); CH2CO2Et, 85 (n28D 1.4800); CH2CH2CO2Et, 49 (m. 85-6.5°). Also prepared was I, 74% yield n2175D 1.4971.

7521-84-8P, 1,3,2-Oxazaphospholidine-4-carboxylic acid, ΙT 2-[bis(2-chloroethyl)amino]-, ethyl ester, 2-oxide 889876-03-3P, Serine, N-[[bis(2-chloroethyl)amino]hydroxyphosphinyl]-, intramol. ester, Et ester

RL: PREP (Preparation)

(preparation of)

RN 7521-84-8 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[bis(2-chloroethyl)amino]-, ethyl ester, 2-oxide (CA INDEX NAME)

$$\begin{array}{c|c} \text{C1CH}_2-\text{CH}_2-\text{N} & \begin{array}{c} \text{O} \\ \text{N} \\ \end{array} \\ \text{C1CH}_2-\text{CH}_2 \end{array}$$

889876-03-3 CAPLUS RN

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

ANSWER 22 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

1966:68183 CAPLUS

DOCUMENT NUMBER: ORIGINAL REFERENCE NO.: 64:68183

64:12787b-f

TITLE:

Serine, serylserine, and polyserine derivatives

carrying cytotoxic groups

AUTHOR(S):

Szekerke, Maria; Csaszar, Janos; Bruckner, Viktor

CORPORATE SOURCE:

L. Eotvos Univ., Budapest

SOURCE:

Acta Chimica Academiae Scientiarum Hungaricae (1966),

46(4), 379-90

CODEN: ACASA2; ISSN: 0001-5407

DOCUMENT TYPE:

Journal

LANGUAGE:

German

For diagram(s), see printed CA Issue. GI

Toxicity was assayed with a subcutaneous Yoshida sarcoma. The larger AB polymers were more active than the smaller mols., and the configuration at the asym. centers influenced the activity. A solution of 0.01 mole N-cbz-Ser-Ser-OCH2Ph (cbz = PhCH2O2C) in 60 ml. dioxane (0°) was treated for 2 days at room temperature with 0.02 ml. Et3N and 0.01 mole (ClCH2CH2)2NPOCl2 (I). After filtration of the Et3N.HCl, the solvent was removed in vacuo and the residual yellow oil hydrogenated in 10% alc. HCl with Pd-C for 6-8 hrs. to give 80% II.HCl, Rf = 0.65 in 20:40:4:10BuOH: EtOH: PrOH: H2O. The L,L form m. 96° , [α] 20D 4.16° (c 2.2, MeOH), the D,D form m. 96°, $[\alpha]$ 20D -4.22° (c 2.2 MeOH), and the DL mixture m. 88 $^{\circ}$ (decomposition). A suspension of 0.01 mole DL-serine benzyl ester-HCl in 40 ml. dioxane was treated with 0.03 mole Et3N and 0.01 mole I as above and hydrogenated to yield 57% DL-III (R = R1 = H), m. 161° . The L form m. 168° , [α]20D 5.5° (c 4, HOAc); the D form m. 168°, [α]20D -5.8° (c 4, HOAc). All three forms gave only one spot of Rf 0.92 in pyridine-BuOH-H2O (1:1:1). A cold solution of 2.1 g. I in 20 ml. dioxane was treated with 1.72 g. DL-threonine benzyl ester and 2.3 ml. Et3N in 30 ml. dioxane. After 2 days, Et3NHCl was filtered off, and the residue after solvent removal hydrogenated over Pd-C to yield 57% DL-III (R = Me, R1 = H) as an oil. Polymerization of O-benzyl-N-carboxyserine anhydride was initiated either with Et3N in warm CHCl3 or with NaOEt in PhBr. Poly(O-benzyl-DL-serine), mol. weight 17,500, poly(O-benzyl-L-serine), [α]20D 27° (c 1.9, Cl2CHCO2H), mol. weight 39,200, and poly(O-benzyl-D-serine), [α]20D -26° (c 1.9, Cl2CHCO2H), mol. weight 40,000, were prepared and characterized by ir spectra. Debenzylation of the polymers was achieved with HBr in dioxane. Poly(O-mesyl-DL-serine) was prepared by treating poly(DL-serine) with MeSO2Cl. Polyserine (1 g.) in 20 ml. anhydrous pyridine was treated with 2.1 g. I in 20 ml. dioxane to yield the DL-, L-, and D-poly[O,O'-[N,N-bis(β -chloroethyl)amido]phosphorylserine].

IT 5276-43-7 5276-44-8

(Derived from data in the 7th Collective Formula Index (1962-1966))

RN 5276-43-7 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[bis(2-chloroethyl)amino]-, 2-oxide, DL- (8CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & H \\ \text{C1CH}_2 - \text{CH}_2 - \text{N} & & & & \\ & & & & & \\ \text{C1CH}_2 - \text{CH}_2 & & & & \\ \end{array}$$

RN 5276-44-8 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[bis(2-chloroethyl)amino]-, 2-oxide, stereoisomers, (S)- (8CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & H \\ \text{C1CH}_2 - \text{CH}_2 - \text{N} & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ \end{array}$$

RN 92345-03-4 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[bis(2-chloroethyl)amino]-, 2-oxide (CA INDEX NAME)

L3 ANSWER 23 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1966:68182 CAPLUS

DOCUMENT NUMBER: 64:68182
ORIGINAL REFERENCE NO.: 64:12787b

TITLE: The investigation of the arylidene and the enamine as

nitrogen-protecting groups in peptide synthesis

AUTHOR(S):

Southard, George Lee

CORPORATE SOURCE:

Univ. of North Carolina, Chapel Hill

SOURCE:

(1966) 73 pp. Avail.: Univ. Microfilms (Ann Arbor,

Mich.), Order No. 65-14,392

From: Dissertation Abstr. 26(7), 3637-8

DOCUMENT TYPE:

Dissertation English

LANGUAGE:

AGE: EI

AB Unavailable

IT 5276-43-7 5276-44-8

(Derived from data in the 7th Collective Formula Index (1962-1966))

RN 5276-43-7 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[bis(2-chloroethyl)amino]-, 2-oxide, DL- (8CI) (CA INDEX NAME)

$$C1CH_2-CH_2-N$$
 $C1CH_2-CH_2$
 $C1CH_2-CH_2$

RN 5276-44-8 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[bis(2-chloroethyl)amino]-, 2-oxide, stereoisomers, (S)- (8CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ \text{C1CH}_2-\text{CH}_2-\text{N} & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ \end{array}$$

L3 ANSWER 24 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

1966:68181 CAPLUS

DOCUMENT NUMBER:

64:68181

ORIGINAL REFERENCE NO.:

64:12787a-b

TITLE:

Synthesis of 3,4-dehydro-DL-proline-carboxy-14C

AUTHOR(S):

Hudson, C. B.; Robertson, A. V.

CORPORATE SOURCE:

Univ. Sydney

SOURCE:

Australian Journal of Chemistry (1965), 18(10),

1677-80

CODEN: AJCHAS; ISSN: 0004-9425

DOCUMENT TYPE:

Journal

LANGUAGE:

English

AB The title compound was synthesized by the following series of reactions: carbonation with Bal4CO3 of a pyrrole Grignard reagent, esterification with CH2N2, amidation with NH3, reduction with PH4I in fuming HI, and hydrolysis. Total radioactivity in the purified product was 29% of that in the Bal4CO3 first used.

IT 5276-43-7 5276-44-8

(Derived from data in the 7th Collective Formula Index (1962-1966))

RN 5276-43-7 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[bis(2-chloroethyl)amino]-, 2-oxide, DL- (8CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & H \\ \text{C1CH}_2 - \text{CH}_2 - & & & & \\ & & & & \\ \text{C1CH}_2 - \text{CH}_2 \end{array}$$

RN 5276-44-8 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[bis(2-chloroethyl)amino]-, 2-oxide, stereoisomers, (S)- (8CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ \text{C1CH}_2 - \text{CH}_2 - \text{N} & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ \end{array}$$

L3 ANSWER 25 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1964:461936 CAPLUS

DOCUMENT NUMBER: 61:61936

ORIGINAL REFERENCE NO.: 61:10773h,1

TITLE:

61:10773h,10774a-c

AUTHOR(S):

Serine peptides as carriers of cytoactive groups

Szekerke, M.; Csaszar, J.; Bruckner, V.

CORPORATE SOURCE:

SOURCE:

L. Eotvos, Univ., Budapest, Hung. Chemistry & Industry (London, United Kingdom) (1964),

(31), 1385-6

CODEN: CHINAG; ISSN: 0009-3068

DOCUMENT TYPE:

LANGUAGE:

Unavailable

Journal

AB cf. CA 59, 7660h. A cytoactive compound was prepared by coupling poly-DL-serine with (ClCH2CH2)2NPOCl2. Three compds. [I (m. 161°), II [m. 88° (decomposition)], and III] were prepared' to establish and identify the active site and to determine the effect of the configuration of the carrier moiety on the biol. properties. The compds. were prepared by treating (ClCH2CH2)2NPOCl2 with DL-serine benzyl ester hydrochloride, benzyloxycarbonyl-DL-Ser-DL-Ser-OCH2Ph and DL-threonine benzyl ester, resp. The reactions were carried out in dioxane in the presence of Et3N. The benzyl ester group was removed by catalytic hydrogenation. The optically active isomers (Ia and Ib) of I were prepd, from L- and D-serine benzyl ester hydrochloride, resp.: Ia m. 168°, [α]20D 5.5° (c 4, HOAc); Ibm. 168°, [α]20D -5.8° (c 4, HOAc). Infrared measurements were consistent with the proposed structures.

IT 92345-03-4P, 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[bis(2-chloroethyl)amino]-, 2-oxide, stereoisomers RL: PREP (Preparation)

(preparation of)

RN 92345-03-4 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[bis(2-chloroethyl)amino]-, 2-oxide (CA INDEX NAME)

C1CH₂-CH₂-CH₂

$$C1CH_2$$
-CH₂
 $C1CH_2$ -CH₂
 $C1CH_2$ -CH₂

L3 ANSWER 26 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1964:52986 CAPLUS

DOCUMENT NUMBER: 60:52986
ORIGINAL REFERENCE NO.: 60:9352c-e

TITLE: Cancerolytic peptides with directed action. V. Some

amino acids and peptides containing the $N\text{-}bis(\beta\text{-}chloroethylaminophosphoryl)}$ group

AUTHOR(S): Kaz'mina, N. B.; Kil'disheva, O. V.; Knunyants, I. L.

CORPORATE SOURCE: Inst. Heteroorg. Compds., Moscow

SOURCE: Izvestiya Akademii Nauk SSSR, Seriya Khimicheskaya

(1964), (1), 117-21

CODEN: IASKA6; ISSN: 0002-3353

DOCUMENT TYPE: Journal LANGUAGE: Unavailable

GI For diagram(s), see printed CA Issue.

AB cf. CA 57, 16734a; 58, 3505g; Friedman and Seligman, CA 49, 3874h; Arnold and Bourseaux, CA 53, 9031c. (C1CH2CH2)2NPOC12, m. 54-6°, or its

thiono analog, m. 30-2° (prepared in 74% yield by refluxing (ClCH2CH2)2NH.HCl with PSCl3 20 hrs.; dichloride b2 117°), added to the appropriate esters of amino or hydroxyamino acids in C6H6 in the

presence of Et3N gave the following derivs.: 50%

(C1CH2CH2) 2NP(S) (NHCH2CO2Et) 2, m. $146-7^{\circ}$; 75% I (R = MeO), m.

 96° ; 75% I (R = HO), m. 160° ; 84% I [R =

NHCH(CH2OH)CO2CH2Ph], m. 134° ; 90% I [R = NHCH(CHPhOH)CO2CH2Ph], m.

 $147-8^{\circ}$; 95% I [R = NHCH(CH2OH)CO2Et], m. $130-1^{\circ}$; 82% I [R = NHCH(CHMeOH)CO2Me], m. 168° ; 83% I [R = p-NHC6H4(CH2)3CO2Et], m.

145°; and 89% I [R = p-NHC6H4CH2CO2Et], m. 153-4°. The

products were prepared for tests as antitumor agents.

IT 88890-87-3P, Butyric acid, 4-[p-[2-[bis(2-chloroethyl)amino]-1,3,2-oxazaphospholidine-4-carboxamido]phenyl]-, ethyl ester, P-oxide

92345-03-4P, 1,3,2-Oxazaphospholidine-4-carboxylic acid,

2-[bis(2-chloroethyl)amino]-, 2-oxide 92706-56-4P,

1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[bis(2-chloroethyl)amino]-,

methyl ester, 2-oxide 95372-90-0P, Serine, N-[[2-[bis(2-

chloroethyl)amino]-1,3,2-oxazaphospholidin-4-yl]carbonyl]-, ethyl ester,

P-oxide 95372-94-4P, Threonine, N-[[2-[bis(2-chloroethyl)amino]-

1,3,2-oxazaphospholidin-4-yl]carbonyl]-, methyl ester, P-oxide

100769-24-2P, Serine, N-[[2-[bis(2-chloroethyl)amino]-1,3,2-

oxazaphospholidin-4-yl]carbonyl]-3-phenyl-, benzyl ester, P-oxide 106506-51-8P, Acetic acid, [p-[2-[bis(2-chloroethyl)amino]-1,3,2-

oxazaphospholidine-4-carboxamido]phenyl]-, ethyl ester, P-oxide

oxazaphospholidine-4-carboxamido]phenyi]-, ethyl ester, P-oxi 106544-96-1P, Serine, N-[[2-[bis(2-chloroethyl)amino]-1,3,2-

oxazaphospholidin-4-yl]carbonyl]-, benzyl ester, P-oxide

RL: PREP (Preparation)

(preparation of) RN 88890-87-3 CAPLUS

CN Butyric acid, 4-[p-[2-[bis(2-chloroethyl)amino]-1,3,2-oxazaphospholidine-4-carboxamido]phenyl]-, ethyl ester, P-oxide (7CI) (CA INDEX NAME)

RN 92345-03-4 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[bis(2-chloroethyl)amino]-, 2-oxide (CA INDEX NAME)

$$\begin{array}{c|c} ClCH_2-CH_2-N \\ ClCH_2-CH_2-CH_2 \end{array}$$

RN 92706-56-4 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[bis(2-chloroethyl)amino]-, methyl ester, 2-oxide (CA INDEX NAME)

$$\begin{array}{c|c} \text{C1CH}_2-\text{CH}_2-\text{N} & \begin{array}{c} \text{O} \\ \text{N} \\ \end{array} \end{array}$$

RN 95372-90-0 CAPLUS

CN Serine, N-[[2-[bis(2-chloroethyl)amino]-1,3,2-oxazaphospholidin-4-yl]carbonyl]-, ethyl ester, P-oxide (7CI) (CA INDEX NAME)

RN 95372-94-4 CAPLUS

CN Threonine, N-[[2-[bis(2-chloroethyl)amino]-1,3,2-oxazaphospholidin-4-yl]carbonyl]-, methyl ester, P-oxide (7CI) (CA INDEX NAME)

RN 100769-24-2 CAPLUS

CN Serine, N-[[2-[bis(2-chloroethyl)amino]-1,3,2-oxazaphospholidin-4-yl]carbonyl]-3-phenyl-, benzyl ester, P-oxide (7CI) (CA INDEX NAME)

RN 106506-51-8 CAPLUS

CN Acetic acid, [p-[2-[bis(2-chloroethyl)amino]-1,3,2-oxazaphospholidine-4-carboxamido]phenyl]-, ethyl ester, P-oxide (7CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{C1CH}_2-\text{CH}_2-\text{N} \\ \text{C1CH}_2-\text{CH}_2 \end{array} \begin{array}{c} \text{H} \\ \text{N} \\ \text{C}-\text{NH} \\ \text{C}-\text{NH} \end{array} \begin{array}{c} \text{O} \\ \text{CH}_2-\text{C}-\text{OEt} \\ \end{array}$$

RN 106544-96-1 CAPLUS

CN Serine, N-[[2-[bis(2-chloroethyl)amino]-1,3,2-oxazaphospholidin-4-yl]carbonyl]-, benzyl ester, P-oxide (7CI) (CA INDEX NAME)

L3 ANSWER 27 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

1960:28276 CAPLUS

DOCUMENT NUMBER:

54:28276

ORIGINAL REFERENCE NO.:

54:5472e-i

TITLE:

Cyclic phosphoric acid ester amides

PATENT ASSIGNEE(S):

Asta-Werke Akt.Ges. Chemische Fabrik.

DOCUMENT TYPE:

Patent

LANGUAGE:

Unavailable

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	GB 812651 DE 1057119		19590429	GB 1957-3283 DE	19570130
	US 3018302			US 1958-728568	19580415
ΆB	[Cl(CH2)2]2NPOCl2 reacts with ω -alkanolamines or their acyl derivs.				
	to form [Cl(CH2)2]2	NPO.O. (CH2)n.NH or	with ω-glycols to form	
	[C1(CH2)2]2NPO.O.(C	H2)n.O	in the prese	nce of NEt3 or other ac	id-binding
	agent. In an examp	le, 0.1	mole HOC3H41	NH2 and either 0.2 mole	NEt3 or
	another 0.2 mole HO	C2H4NH2	in 60 ml. d:	ioxane is dropped with	stirring
				-chloroethyl)phosphoram	
				fter stirring 2 hrs. an	
				-45° to leave N,N-bis(β	
				cid diamide (II), m. 99	

(EtOH). Similarly prepared from I and NEt3 are: N,N-bis(β-chloroethyl)-0,0'-ethylenephosphoric acid amide; N,N-bis(β -chloroethyl)-N',0ethylene-N'-methylphosphoric acid diamide (III); N,N-bis (β chloroethyl)-0,0'-isopropylenephosphoramide; N, N-bis(β -chloroethyl)- $0,0'-(\beta-hydroxypropylene)$ phosphoramide; $N,N-bis(\beta-hydroxypropylene)$ chloroethyl) (O, N'-dl-serine methyl ester) phosphoramide; $N, N-bis(\beta-chloroethyl)-N', O-propylenephosphoric acid diamide, m.$ $48-9^{\circ}$; N,N-bis(β -chloroethyl)-N',O-butylenephosphoric acid diamide; $N, N-bis(\beta-chloroethyl)-N', O-ethylene-N'-(\beta-chloroethyl)$ hydroxyethyl)phosphoric acid diamide; $N,N-bis(\beta-chloroethyl)-O,O'$ propylenephosphoramide, m. 49-50°; N, N-bis(β-chloroethyl)-0,0'butylenephosphoramide, m. 72-3° (AcOEt). Treatment of I in C6H6 with NEt3 and pentaerythritol in C5H5N gives N, N-bis(β -chloroethyl)- $O', O-[\beta-bis(hydroxymethyl)propylene]-phosphoramide, and similar$ reaction using D-sorbitol yields N,N-bis(β -chloroethyl)-0,0'sorbitylphosphoramide. Preparation from NEt3 and N,N-bis(β -chloroethyl)-Ophenyl-phosphoramide chloride (b0.2 167-9°) in dioxane gives II using HOC2H4NH2 and III using HOC2H4NHMe. Products for which no m.p. is given are oils and the compds. are carcinostatic agents. 92706-56-4P, 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[bis(2-chloroethyl)amino]-, 2-oxide, Me ester RL: PREP (Preparation) (preparation of) 92706-56-4 CAPLUS

1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[bis(2-chloroethyl)amino]-,

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ \text{C1CH}_2 - \text{CH}_2 - \text{N} & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ \end{array}$$

TΤ

RN

CN

L3 ANSWER 28 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN

methyl ester, 2-oxide (CA INDEX NAME)

ACCESSION NUMBER: 1957:58108 CAPLUS

DOCUMENT NUMBER: 51:58108
ORIGINAL REFERENCE NO.: 51:10774a-c

ORIGINAL REFERENCE NO.: 51:10774a-c
TITLE: Cuticular lipides of arthropods. II. The chemical

composition of the wax from Ceroplastes destructor

AUTHOR(S): Gilbey, A. R.

CORPORATE SOURCE: New S. Wales Univ. Technol., Austr.

SOURCE: Archives of Biochemistry and Biophysics (1957), 67,

307-19

CODEN: ABBIA4; ISSN: 0003-9861

DOCUMENT TYPE: Journal LANGUAGE: Unavailable

The wax from C. destructor consists of n-paraffin-chain acids and alcs. largely combined as esters. In addition to long-chain acids and alcs., of average length about C27, a significant proportion of short-chain (about C12) acids and alcs. is present, the alcs. being unsatd. with at least 2 unconjugated double bonds/mol. The mol. ratio of C27:C12 mols. is approx. 1:2.5 for the hydrolyzed alcs. There is also a minor fraction of an unknown conjugated unsatd. compound (probably a diene). The present results differ from those of Hackman (C.A. 46, 1661d) in that they indicate the presence of shorter-chain and unsatd. materials which were probably lost when H.'s material was crystallized from CHC13-EtOH. Knowledge of the presence of an appreciable fraction of unsatd. and short-chain compds. is of considerable importance in considering biol. aspects of lipides, and the methods used here possess the advantage of avoiding the loss of important

fractions.

IT 92345-03-4

(Derived from data in the 6th Collective Formula Index (1957-1961))

RN 92345-03-4 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[bis(2-chloroethyl)amino]-, 2-oxide (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ \text{C1CH}_2 - \text{CH}_2 - \text{N} & & \\ & & & \\ & & & \\ \text{C1CH}_2 - \text{CH}_2 \end{array}$$

L3 ANSWER 29 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1957:58107 CAPLUS

DOCUMENT NUMBER: 51:58107

ORIGINAL REFERENCE NO.: 51:10773i,10774a

TITLE: Cuticular lipides of arthropods. I. The influence of

biological factors on the composition of the wax from

Ceroplastes destructor

AUTHOR(S): Gilby, A. R.; Alexander, A. E.

CORPORATE SOURCE: New S. Wales Univ. Technol., Austr.

SOURCE: Archives of Biochemistry and Biophysics (1957), 67,

302-6

CODEN: ABBIA4; ISSN: 0003-9861

DOCUMENT TYPE: Journal LANGUAGE: Unavailable

AB cf. C.A. 50, 16311b. A combined study of unimol. surface films and infrared absorption spectra forms a convenient and rapid means of assessing the chemical nature of the white wax scale, and the influence thereon of environment and age of the insect. There is a typical composition for the wax produced by C. destructor, although the relative amts. of the components may vary. This typical composition is not greatly affected by the age of the insect or type and locality of the host plant. The unsatd. compds. present do not arise from extraction of the insect body.

IT 92345-03-4

(Derived from data in the 6th Collective Formula Index (1957-1961))

RN 92345-03-4 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[bis(2-chloroethyl)amino]-, 2-oxide (CA INDEX NAME)

L3 ANSWER 30 OF 30 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1957:58106 CAPLUS

DOCUMENT NUMBER: 51:58106
ORIGINAL REFERENCE NO.: 51:10773g-i

TITLE: The amine constituents from the excretory products of

Ascaris lumbricoides and Trichinella spiralis larvae

AUTHOR(S): Haskins, Willard T.; Weinstein, Paul P.

CORPORATE SOURCE: Natl. Inst. of Allergy and Infectious Diseases,

Bethesda, MD

SOURCE:

Journal of Parasitology (1957), 43, 28-32

CODEN: JOPAA2; ISSN: 0022-3395

DOCUMENT TYPE:

Journal

LANGUAGE:

Unavailable

AB T. spiralis and A. lumbricoides larvae were incubated in saline under axenic conditions for 24 hrs. Alc. exts. of the acidified concentrates of the incubates were examined for the presence of aliphatic primary amines by paper chromatography. T. spiralis larvae produced Me, Et, Pr, Bu, amyl, and heptyl amines, ethylenediamine, cadaverine, ethanolamine, and 1-amino-2-propanol. A. lumbricoides larvae produced all of these amines with the exception of amyl and heptyl amines and ethylenediamine. The egg-fluid inside the vitelline membrane of the larvae of A. lumbricoides was found to have the same amines as in the incubates from the larvae.

IT 92345-03-4

(Derived from data in the 6th Collective Formula Index (1957-1961))

RN 92345-03-4 CAPLUS

CN 1,3,2-Oxazaphospholidine-4-carboxylic acid, 2-[bis(2-chloroethyl)amino]-, 2-oxide (CA INDEX NAME)

$$\begin{array}{c|c} C1CH_2-CH_2-N \\ C1CH_2-CH_2 \end{array}$$

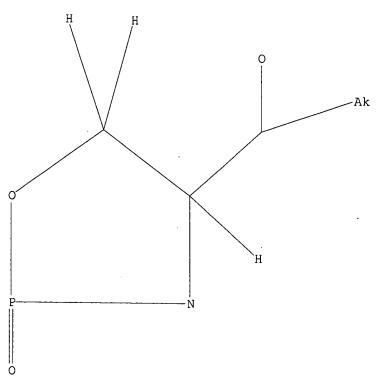
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L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:673309 CAPLUS

DOCUMENT NUMBER: 143:153649

Sphingomyelin, intermediates thereof and methods for TITLE:

preparation of same

Rochlin, Elimelech; Hildesheim, Jean; Berlin, Alisa INVENTOR(S):

PATENT ASSIGNEE(S): Biolab Ltd., Israel PCT Int. Appl., 39 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2005068480	A1 20050728	WO 2005-IL43	20050113
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BW, BY,	BZ, CA, CH,
CN, CO, CR,	CU, CZ, DE, DK,	DM, DZ, EC, EE, EG, ES,	FI, GB, GD,
GE, GH, GM,	HR, HU, ID, IL,	IN, IS, JP, KE, KG, KP,	KR, KZ, LC,
LK, LR, LS,	LT, LU, LV, MA,	MD, MG, MK, MN, MW, MX,	MZ, NA, NI,
NO, NZ, OM,	PG, PH, PL, PT,	RO, RU, SC, SD, SE, SG,	SK, SL, SY,
TJ, TM, TN,	TR, TT, TZ, UA,	UG, US, UZ, VC, VN, YU,	ZA, ZM, ZW
RW: BW, GH, GM,	KE, LS, MW, MZ,	NA, SD, SL, SZ, TZ, UG,	ZM, ZW, AM,
AZ, BY, KG,	KZ, MD, RU, TJ,	TM, AT, BE, BG, CH, CY,	CZ, DE, DK,
EE, ES, FI,	FR, GB, GR, HU,	IE, IS, IT, LT, LU, MC,	NL, PL, PT,
RO, SE, SI,	SK, TR, BF, BJ,	CF, CG, CI, CM, GA, GN,	GQ, GW, ML,
MR, NE, SN,	TD, TG		
AU 2005205245	A1 20050728	AU 2005-205245	20050113
CA 2552797		CA 2005-2552797	
EP 1704155		EP 2005-703086	
R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IT, LI, LU, NL,	, SE, MC, PT,
IE, SI, LT,	FI, RO, CY, TR,	BG, CZ, EE, HU, PL, SK,	, IS
JP 2007517860	T 20070705	JP 2006-548580	20050113
· IN 2006DN03970	A 20070427	IN 2006-DN3970	20060710
PRIORITY APPLN. INFO.:		US 2004-536507P	
		WO 2005-IL43	W 20050113
OTHER SOURCE(S):	CASREACT 143:15	3649; MARPAT 143:153649	

GI

AB A process was disclosed for the preparation of oxazaphospholanes, such as I [R = hydroxyl protecting group; R1 = hydrophobic group; R2 = H, C1-24 aliphatic moiety; X = leaving group], which are useful intermediates for the synthesis of sphingomyelins. Thus, N-(tert-butoxycarbonyl)-D-erythrosphingosine was reacted with ClsiPh2CMe3 using imidazole in CH2Cl2 to form N-(tert-Butoxycarbonyl)-O-(tert-butyldiphenylsilyl)-D-erythrosphingosine in 56% yield. The N,O-diprotected sphingosine derivative was then reacted with POCl3 using Et3N in CH2Cl2 to give the intermediate oxazaphospholane II (R = SiPh2CMe3) which was further converted to N-palmitoylsphingosylphosphorylcholine in 31% yield via reaction with choline tosylate and palmitoyl chloride using Et3N in CH2Cl2 and subsequent desilylation of the resulting O-silyl protected derivative with TBAF.

IT 860021-45-0P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (process for the preparation of cyclic and acyclic oxazaphospholanes as intermediates for the synthesis of sphingomyelin and sphingomyelin analogs)

RN 860021-45-0 CAPLUS

CN 1,3,2-Oxazaphospholidine, 2-chloro-4-[(1R,2E)-1-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-2-hexadecenyl]-, 2-oxide, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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